

3/9/2 (Item 1 from file: 347)  
DIALOG(R) File 347:JAPIO  
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04436660  
PRODUCTION OF LIPOSOME

PUB. NO.: 06-080560 JP 6080560 A]  
PUBLISHED: March 22, 1994 (19940322)  
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APPL. NO.: 04-260893 [JP 92260893]  
FILED: September 03, 1992 (19920903)  
INTL CLASS: [5] A61K-009/127; A61K-047/28; B01J-013/02  
JAPIO CLASS: 14.4 (ORGANIC CHEMISTRY -- Medicine); 13.1 (INORGANIC  
CHEMISTRY -- Processing Operations)  
JAPIO KEYWORD: R007 (ULTRASONIC WAVES)  
JOURNAL: Section: C, Section No. 1217, Vol. 18, No. 337, Pg. 73, June  
27, 1994 (19940627)

ABSTRACT

PURPOSE: To provide a liposome having excellent stability, reticuloendothelial avoidance, organotropic property, drugretaining function, etc.

CONSTITUTION: The objective liposome can be prepared by compounding (i) a polar lipid, (ii) a compound giving positive or negative charge, (iii) cholesterol, (iv) a compound containing a polyethylene glycol unit having a polymerization degree of 3-6 and at least two 5-20C alkyl groups (or its lipid derivative), (v) a drug component and (vi) a solvent at specific ratios.

3/9/3 (Item 1 from file: 351)  
DIALOG(R) File 351:DERWENT WPI  
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WPI Accession No: 94-131963 JP 6080560 JP 06080560 JP A\_19940322\_199416  
XRAM Accession No: C94-060985

**Improved procedure to prepare liposome drug(s) - comprises lipid film  
prepn and treating lipid film with aq soln of drug(s)**

Patent Assignee: DDS KENKYUSHO KK (DDSK-N)  
Number of Countries: 001 Number of Patents: 001  
Patent Family:

Patent No	Kind	Date	Applicat No	Kind	Date	Main IPC	Week
JP 6080560	A	19940322	JP 92260893	A	19920903	A61K-009/127	199416 B

Priority Applications (No Kind Date): JP 92260893 A 19920903

Patent Details:

Patent	Kind	Lan	Pg	Filing Notes	Application	Patent
JP 6080560	A		44			

Abstract (Basic): JP 6080560 A

Preparation of liposome (I) from following materials is claimed. (1) polar lipid 1 mol, (2) cationic cpd(s) (IIIa) or anionic cpd(s) (IIIb) 0.05-0.5 mol, (3) cholesterol (IV) 0.3-1.5 mol, (4) cpd(s) (V) having 3-6 ethylene glycol units and two or more of 5-20C alkyl gps. 0.02-0.5 mol, (5) aq. soln. of organic cpd(s) (VI) 50-100 L. Three procedures to prepare drug(s)-containing liposome (VII) from materials (II)-(V) and aqueous drug(s) solution (VIa) also claimed.

Pref. materials inc. (1) (II): phospholipid such as dimyristoylphosphatidylcholine, dipalmitoylphosphatidylcholine, distearoylphosphatidylcholine, yolk lecithin, soya lecithin, etc., (2) (III): aliphatic amine(s), e.g. stearylamine, dicetyl phosphate, (3) (IV): e.g (IVa), (IVb) used as organ-targeting agent.

USE/ADVANTAGE - (VII) is used for drug delivery system (DDS), (VII) is prepared from ingredient drug(s) and materials mentioned above. (VII) having good balance of stability, organ-targeting property and rate of releasing drug(s) etc is prepared by present procedures efficiently.

Dwg.0/26

Title Terms: IMPROVE; PROCEDURE; PREPARATION; LIPOSOME; DRUG; COMPRISE;  
LIPID; FILM; PREPARATION; TREAT; LIPID; FILM; AQUEOUS; SOLUTION; DRUG  
Derwent Class: B05

International Patent Class (Main): A61K-009/127

International Patent Class (Additional): A61K-047/28; B01J-013/02

File Segment: CPI

Manual Codes (CPI/A-N): B01-D02; B04-B01B; B04-C03C; B05-B01P; B12-M11F

Chemical Fragment Codes (M1):

\*02\* B415 B701 B713 B720 B815 B831 H1 H181 H721 H722 J0 J012 J2 J272 K0  
L7 L722 M210 M211 M225 M231 M262 M273 M282 M283 M312 M313 M321 M332  
M342 M343 M383 M392 M411 M431 M510 M520 M530 M540 M620 M782 M903  
M904 M910 R031 R01833-M

Chemical Fragment Codes (M2):

\*01\* B415 B701 B713 B720 B815 B831 H1 H181 J0 J012 J2 J272 K0 L7 L722  
M210 M211 M225 M231 M262 M273 M282 M283 M312 M313 M321 M332 M342  
M343 M383 M392 M411 M431 M510 M520 M530 M540 M620 M782 M903 M904  
R031 V0 V771 R06520-M R06521-M R09650-M

Chemical Fragment Codes (M5):

\*05\* M431 M782 M903 M904 M910 R031 S005 S032 S131 S133 S134 S142 S143  
S303 S317 S503 U560 U563 R00148-M

Chemical Fragment Codes (M6):

\*07\* M903 R032 R051 R052 R111 R150

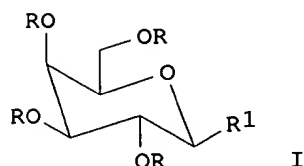
Dialog/WPI June 16, 1997

Derwent Registry Numbers: 0148-U; 1065-U; 1833-U

Specific Compound Numbers: R06520-M; R06521-M; R09650-M; R01833-M; R01065-M  
; R10728-M; R00148-M

Generic Compound Numbers: 9416-27401-M

L3 ANSWER 1 OF 1 CAPLUS COPYRIGHT 1997 ACS  
 AN 1994:509565 CAPLUS  
 DN 121:109565  
 TI Preparation of liposomes containing glycosides  
 IN Yamada, Harutami; Nakabayashi, Akira; Morikawa, Yasuri; Azuma, Kunio; Myoshi, Shiro; Aono, Katsutoshi; Yamauchi, Hitoshi; Murahashi, Naoichi; Sasaki, Atsushi; Et, Al.  
 PA Dds Kenkyusho Kk, Japan  
 SO Jpn. Kokai Tokkyo Koho, 44 pp.  
 CODEN: JKXXAF  
 PI JP 06080560 A2 940322 Heisei  
 AI JP 92-260893 920903  
 DT Patent  
 LA Japanese  
 IC ICM A61K009-127  
 ICS A61K047-28; B01J013-02  
 CC 33-3 (Carbohydrates)  
 Section cross-reference(s): 63  
 GI



AB Liposomes are prepd. from polar lipid 1, charged substance 0.05-0.5, cholesterol 0.3-1.5, polyethylene (polymn. degree 3-6), and at least 2 compds. having C5-20 alkyl groups 0.02-0.5 mol in 1 L a water-misc. solvent. E.g., glycosidation of monochlorotriethyleneglycol with galactose peracetate [I; R = Ac; R1 = AcO] gave the glycoside I [R = Ac; R1 = (OCH2CH2)3-Cl], which was treated with NaN3, the resulting I [R = Ac; R1 = (OCH2CH2)3-N3] was treated with 4-MeC6H4SO3H in MeOH-EtOAc contg. Lindlar catalyst and then with 2-palmitylstearic acid to give I [R = Ac; R1 = (OCH2CH2)3-NH-CO-CH(C16H33)2], which was deacetylated to give glycoside I [R = H, R1 = (OCH2CH2)3-NH-CO-CH(C16H33)2] (II). E.g., a liposome film was prepd. from a soln. of L-.alpha.-dipalmitoylphosphatidylcholine 80, cholesterol 80, dicetyl phosphate 8, and II 16 .mu.mol in a 1:1 mixt. of CHCl3 and MeOH.  
 ST liposome glycoside prepn  
 IT Glycosides  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of, for liposome compns. for drug delivery)  
 IT Liposome  
 (prepn. of, glycosides-contg.)  
 IT 89547-15-9  
 RL: RCT (Reactant)  
 (N-acylation by, of aminoalkyl glycosides)  
 IT 4163-60-4  
 RL: RCT (Reactant)  
 (glycosidation by, of monochlorotriethyleneglycol)

IT 5197-62-6

RL: RCT (Reactant)

(glycosidation of, by galactose peracetate)

IT 153251-48-0P 153251-54-8P 153251-58-2P 153251-59-3P  
153251-64-0P 153251-65-1P 153251-88-8P 153251-92-4P  
153251-93-5P 153251-98-0P 153251-99-1P 153252-02-9P  
153252-03-0P 153252-04-1P 153253-28-2P 153253-29-3P  
156031-55-9P 156031-70-8P 156031-98-0P 156058-90-1P  
156059-18-6P 156059-32-4P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of, and liposome preps. contg.)

IT 619-39-6P 4372-37-6P 34395-01-2P 126765-23-9P 126765-25-1P  
147218-81-3P 151864-95-8P 153252-33-6P 153252-34-7P  
153252-39-2P 153252-44-9P 153252-46-1P 153252-47-2P  
153252-51-8P 153252-52-9P 153252-53-0P 153252-67-6P  
153252-68-7P 153252-70-1P 153252-71-2P 153252-72-3P  
153253-23-7P 153253-24-8P 153253-25-9P 153253-27-1P  
153253-28-2P 153253-29-3P 153253-42-0P 153253-44-2P  
153253-45-3P 153253-48-6P 153253-55-5P 153253-56-6P  
153253-73-7P 153253-74-8P 153253-75-9P 153253-77-1P  
153253-78-2P 156031-46-8P 156031-47-9P 156031-48-0P  
156031-50-4P 156031-51-5P 156031-53-7P 156031-62-8P  
156031-63-9P 156031-64-0P 156031-66-2P 156031-68-4P  
156031-79-7P 156031-93-5P 156031-94-6P 156031-97-9P  
156032-04-1P 156032-05-2P 156032-07-4P 156032-09-6P  
156058-86-5P 156058-89-8P 156059-26-6P 156059-28-8P  
156059-31-3P 156647-79-9P 156715-22-9P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of, as intermediate for drugs delivered in liposomes  
preps.)

IT 604-69-3 4163-65-9 5197-62-6 7355-18-2 13035-61-5  
15014-25-2, Dibenzyl malonate 24332-95-4 25878-57-3 74006-95-4  
86520-52-7

RL: RCT (Reactant)

(reaction of, in prepn. of drugs delivered in liposome preps.)